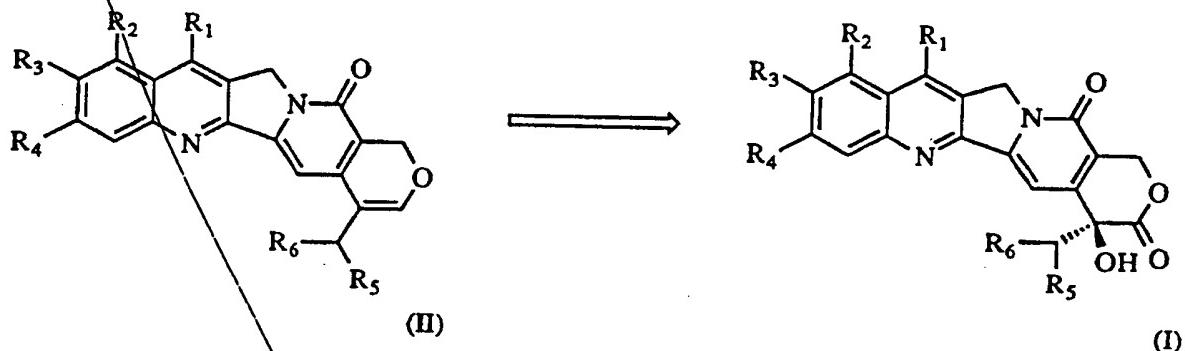


We claim:

- ## 1. A method of preparing a compound of Formula (I)



which comprises dihydroxylating a compound of Formula (II), wherein:
R₁ and R₂, which may be the same or different, are independently
selected from hydrogen, lower alkyl, (C₃₋₇) cycloalkyl, (C₃₋₇)cycloalkyl
lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl, or
(-CH₂NR₇R₈), wherein:

- i) R₇ and R₈, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C₃₋₇) cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or

- ii) R₇ represents hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl, and R₈ represents -COR₉.

wherein:

R₉ represents hydrogen, lower alkyl, perhalo-lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, lower alkoxy, lower alkoxy lower alkyl; or

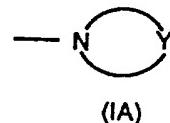
iii) ~~R₇~~ represents hydrogen or lower alkyl; and R₈ represents diphenyl-methyl or -(CH₂)_tAr

wherein:

t is 0 to 5 and

Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

iv) R₇ and R₈ taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)



wherein:

Y represents O, S, SO, SO₂, CH₂ or NR₁₀,

wherein:

R₁₀ represents hydrogen, lower alkyl, perhalo lower alkyl, aryl, aryl substituted with one or more substituents selected from lower alkyl, lower alkoxy, halogen, nitro, amino, lower alkyl amino, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups or -COR₁₁,

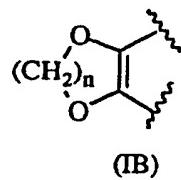
wherein:

R₁₁ represents hydrogen, lower alkyl, perhalo-lower alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from lower alkyl, perhalo-

lower alkyl, hydroxy lower alkyl,
lower alkoxy lower alkyl groups; or

R_3 and R_4 are independently selected from hydrogen, lower alkyl, ($C_{3.7}$)cycloalkyl, ($C_{3.7}$)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl; or

R_3 and R_4 taken together form a saturated 5 to 6 atom heterocyclic group of formula (IB)



wherein,

n represents the integer 1 or 2; or

R_3 represents $-OCONR_{12}R_{13}$,

wherein,

R_{12} and R_{13} , which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both R_{12} and R_{13} are substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with $-O-$, $-S-$ and/or $>N-R_{14}$ in which R_{14} is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group, and

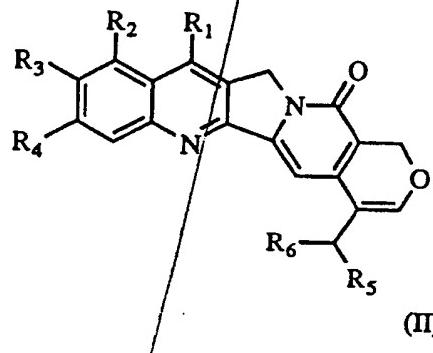
R_5 represents hydrogen or alkyl, and

R_6 represents hydrogen or alkyl, and

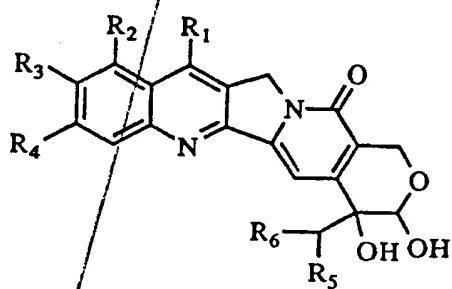
pharmaceutically acceptable salts thereof.

Sub B

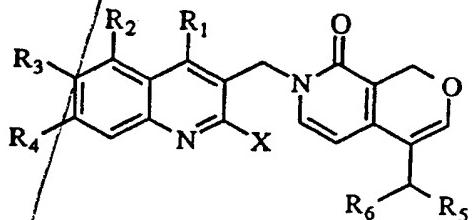
2. A compound of Formulas (II), (III), (IV), or (VI):



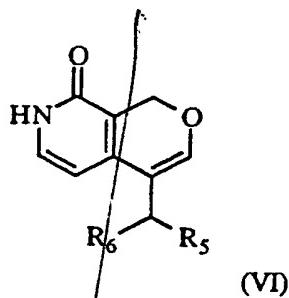
(II)



(III)



(IV)



wherein:

R₁ and R₂, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C₃₋₇) cycloalkyl, (C₃₋₇)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl, or (-CH₂NR₇R₈), wherein:

i) R₇ and R₈, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C₃₋₇) cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or

ii) R₇ represents hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl, and R₈ represents -COR₉,

wherein:

R₉ represents hydrogen, lower alkyl, perhalo-lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, lower alkoxy, lower alkoxy lower alkyl; or

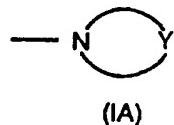
iii) R₇ represents hydrogen or lower alkyl; and R₈ represents diphenyl-methyl or -(CH₂)_tAr

wherein:

t is 0 to 5 and

Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

- iv) R₇ and R₈ taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)



wherein:

Y represents O, S, SO, SO₂, CH₂ or NR₁₀,

wherein:

R₁₀ represents hydrogen, lower alkyl, perhalo lower alkyl, aryl, aryl substituted with one or more substituents selected from lower alkyl, lower alkoxy, halogen, nitro, amino, lower alkyl amino, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups or

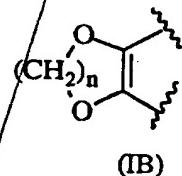
-COR₁₁.

wherein:

R₁₁ represents hydrogen, lower alkyl, perhalo-lower alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from lower alkyl, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups; or

R_3 and R_4 are independently selected from hydrogen, lower alkyl, (C_{3-7})cycloalkyl, (C_{3-7})cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl; or

R_3 and R_4 taken together form a saturated 5 to 6 atom heterocyclic group of formula (IB)



wherein,

n represents the integer 1 or 2; or

R_3 represents $-OCONR_{12}R_{13}$,

wherein,

R_{12} and R_{13} , which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both R_{12} and R_{13} are substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with $-O-$, $-S-$ and/or $>N-R_{14}$ in which R_{14} is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group; and

R_5 represents hydrogen or alkyl, and

R_6 represents hydrogen or alkyl, and

pharmaceutically acceptable salts thereof.

3. A compound selected from the group consisting of:

4-Ethyl-1H-pyrano[3,4-c]pyridin-8-one;

~~4-Ethyl-7-[7-iodo-9-[(4-methyl-piperazinyl)methyl]-2,3-dihydro-[1,4]dioxino[2,3-g]quinolin-8-ylmethyl]-1H-pyran[3,4-c]pyridin-8-one;~~

~~11H-1,4-Dioxino[2,3-g]pyrano[3'4':6,7]indolizino[1,2-b]quinoline-12(14H)-one,8-ethyl-2,3-dihydro-15-[(4-methyl-1-piperazinyl)methyl]; or~~

11H-1,4-Dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinoline-12(8H,14H)-one,8-ethyl-2,3-dihydro-8,9-dihydroxy-15-[(4-methyl-1-piperazinyl)methyl]- (9R-cis).

add
A2

Gold
B3